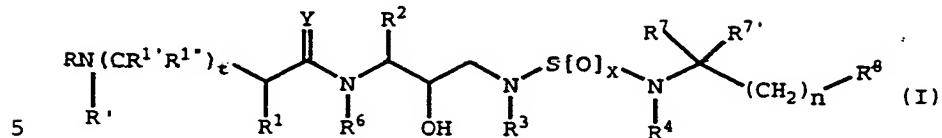


WHAT IS CLAIMED IS:

1. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

10 R represents hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, alkoxy carbonyl, alkoxy carbonyl, aryloxy alkyl, heteroaryloxy alkyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxy alkyl, heterocyclic carbonyl, heterocyclic alkyl, heterocyclic alkyl, heteroaralkyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, heteroaroyl, hydroxy alkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl, heterocycloalkyl radicals, or wherein said aminocarbonyl and aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

15 20 25 30 R' represents hydrogen, radicals as defined for R3 or R"SO2- wherein R" represents radicals as defined for R3; or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radicals;

5 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃,
-CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃),
-C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]CH₃), alkyl, haloalkyl,
alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO₂)
10 derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine, allo-threonine, serine, O-alkyl serine, aspartic acid, beta-cyanoalanine and valine side chains;
15 R^{1'} and R^{1''} independently represent hydrogen and radicals as defined for R¹, or one of R^{1'} and R^{1''}, together with R¹ and the carbon atoms to which R¹, R^{1'} and R^{1''} are attached, represent a cycloalkyl radical;
20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radials, -NO₂, -CN, -CF₃, -OR⁹ and -SR⁹, wherein R⁹
25 represents hydrogen and alkyl radicals, and halogen radicals;

30 R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said
35

substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives

5 thereof;

R⁴ represents hydrogen and radicals as defined by R³;

R⁶ represents hydrogen and alkyl radicals;

10

R⁷ and R^{7'} independently represent hydrogen and radicals as defined for R³; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, 15 and t-butylglycine; radicals represented by the formulas -C(O)R¹⁶, -CO₂R¹⁶, -SO₂R¹⁶, -SR¹⁶, -CONR¹⁶R¹⁷, -CF₃ and -NR¹⁶R¹⁷; or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

20 R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

25 wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

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x represents 1 or 2;

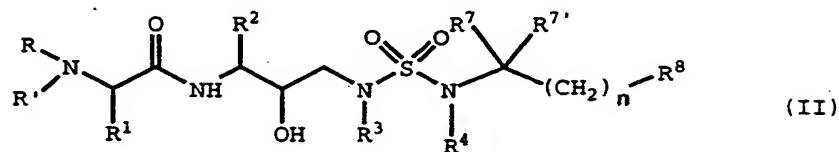
n represents an integer of from 0 to 6;

35 t represents either 0, 1 or 2; and

Y represents O, S and NR₁₅ wherein R₁₅ represents hydrogen and radicals as defined for R³;

2. Compound represented by the formula:

5



wherein:

10 R represents hydrogen, alkyl, alkenyl, cycloalkyl, hydroxyalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, alkoxy carbonyl, alkoxyalkyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl, heterocyclyl carbonyl, heterocyclylalkoxy carbonyl, heterocyclylalkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

15 20 25 30 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radical;

5 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃,
 -CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃),
 -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]₂CH₃), alkyl, haloalkyl,
 alkenyl, alkynyl and cycloalkyl radicals, and amino acid
 side chains selected from asparagine, S-methyl cysteine
 and methionine and the sulfoxide (SO) and sulfone (SO₂)
 10 derivatives thereof, isoleucine, allo-isoleucine,
 alanine, leucine, tert-leucine, phenylalanine, ornithine,
 histidine, norleucine, glutamine, threonine, glycine,
 allo-threonine, serine, O-methyl serine, aspartic acid,
 beta-cyanoalanine and valine side chains;

15 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl
 and aralkyl radicals, which radicals are optionally
 substituted with a group selected from alkyl and halogen
 radials, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹

20 represents hydrogen and alkyl radicals;

25 R³ represents alkyl, haloalkyl, alkenyl, alkynyl,
 hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
 heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,
 aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and
 disubstituted aminoalkyl radicals, wherein said
 substituents are selected from alkyl, aryl, aralkyl,
 cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,
 heterocycloalkyl, and heterocycloalkylalkyl radicals, or

30 35 in the case of a disubstituted aminoalkyl radical, said
 substituents along with the nitrogen atom to which they
 are attached, form a heterocycloalkyl or a heteroaryl
 radical, and thioalkyl, alkylthioalkyl and arylthioalkyl
 and the sulfone and sulfoxide derivatives thereof;

35 R⁴ represents hydrogen and radicals as defined by R³;

R⁷ and R^{7'} independently represent radicals as defined for R³ and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-
5 isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

10 R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

15 wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

20 n represents an integer of from 0 to 6.

3. Compound of Claim 2 wherein R represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy carbonyl, heteroaroyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxylalkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted 35 aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl,

cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

5 are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are

10 attached represent heterocycloalkyl and heteroaryl radical;

R¹ represents CH₂C(O)NHCH₃, C(CH₃)₂(SCH₃), C(CH₃)₂(S[O]CH₃), C(CH₃)₂(S[O]₂CH₃), alkyl, alkenyl and

15 alkynyl radicals, and amino acid side chains selected from the group consisting of asparagine, valine, threonine, allo-threonine, isoleucine, t^{ert}-leucine, S-methyl cysteine and the sulfone and sulfoxide derivatives thereof, alanine, and allo-isoleucine;

20 R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents alkyl radicals; and

25 R³ represents alkyl, haloalkyl, alkenyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl and heteroaralkyl radicals;

30 R⁴ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

R^7 and R^7' independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

5

R^8 represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclylamino and alkoxy carbonyl radicals; and

10

n is an integer of from 0 to 6.

4. Compound of Claim 2 wherein R represents
 15 alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl,
 20 heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the
 25 substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they
 30 are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R^3 or
 35 R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R¹ represents CH₂C(O)NHCH₃, C(CH₃)₂(SCH₃),
C(CH₃)₂(S[O]CH₃), C(CH₃)₂(S[O]₂CH₃), methyl, propargyl,
5 t-butyl, isopropyl and sec-butyl radicals, and amino acid
side chains selected from the group consisting of
asparagine, valine, S-methyl cysteine, allo-iso-leucine,
iso-leucine, and beta-cyano alanine side chains;

R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl,
10 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl
radicals;

R³ represents propyl, isoamyl, n-butyl, isobutyl,
cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl
15 radicals;

R⁴ represents hydrogen and methyl, ethyl, i-propyl,
propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl
and phenyl radicals;

20 R⁷ and R^{7'} independently represent methyl, ethyl, propyl
and butyl radicals, or together with the carbon atom to
which they are attached form a cyclopropyl, cyclobutyl,
cyclopentyl or cyclohexyl radical;

25 R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy,
cyano, methoxycarbonyl, ethoxycarbonyl,
isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl,
30 carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,
phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or
4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl,
4-morpholinyl, 4-(N-methyl)piperazinyl and
1-pyrrolidinyl; and

35 n represents an integer of from 0 to 6.

5. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

5 6. A pharmaceutical composition comprising a compound of Claim 2 and a pharmaceutically acceptable carrier.

10 7. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 5.

15 8. Method of Claim 7 wherein the retroviral protease is HIV protease.

9. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 5.

20 10. Method of Claim 9 wherein the retroviral infection is an HIV infection.

25 11. Method for treating AIDS comprising administering an effective amount of a composition of Claim 5.

12. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 6.

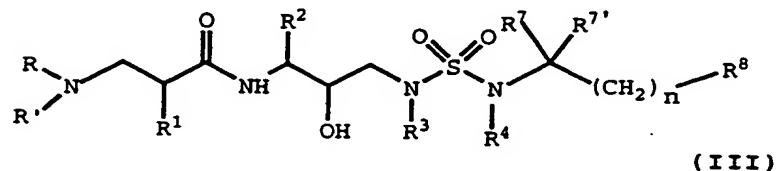
30 13. Method of Claim 12 wherein the retroviral protease is HIV protease.

35 14. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 6.

15. Method of Claim 12 wherein the retroviral infection is an HIV infection.

5 16. Method for treating AIDS comprising administering an effective amount of a composition of Claim 6.

10 17. Compound represented by the formula:



wherein:

15 R represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, 20 aryloxy carbonyl, aryloxy carbonylalkyl, alkoxy alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyl oxy carbonyl, heterocyclylalkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, heteroaroyl, aminocarbonyl, amino alkanoyl, and mono- and 25 disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where 30 said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃, -CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO₂) derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine, allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

15 20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹ represents hydrogen and alkyl radicals;

25 30 R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or 35 in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they

are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

5

R⁴ represents hydrogen and radicals as defined for R³;

R⁷ and R^{7'} independently represent radicals as defined for R³ and amino acid side chains selected from the group
10 consisting of valine, isoleucine, glycine, alanine, allo-
isoleucine, asparagine, leucine, glutamine, and
t-butylglycine or R⁷ and R^{7'} together with the carbon
atom to which they are attached form a cycloalkyl
radical;

15

R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl,
aryl, aralkyl, heterocycloalkyl and heteroaryl radicals
and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶,
SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

20

wherein R¹⁶ and R¹⁷ independently represent hydrogen and
radicals as defined for R³, or R¹⁶ and R¹⁷ together with
a nitrogen to which they are attached in the formula
NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl
25 radicals;

n represents an integer of from 0 to 6.

18. Compound of Claim 17 wherein R represents
30 hydrogen, alkoxycarbonyl, aralkoxycarbonyl,
alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxy-
carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl,
aroyl, aryloxycarbonyl, aryloxycarbonylalkyl,
aryloxyalkanoyl, heterocyclcarbonyl,
35 heterocyclloxycarbonyl, heterocyclalkanoyl,
heterocyclalkoxycarbonyl, heteroaralkanoyl,

heteroaralkoxycarbonyl, heteroaryloxy-carbonyl,
heteroaroyl, alkyl, alkanyl, cycloalkyl, aryl, aralkyl,
aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl,
aminocarbonyl, aminoalkanoyl, and mono- and disubstituted
5 aminocarbonyl and mono- and disubstituted aminoalkanoyl
radicals wherein the substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl,
heterocycloalkyl radicals, or where said
10 aminoalkanoyl radical is disubstituted, said substituents
along with the nitrogen atom to which they are attached
form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R³ or
15 R and R' together with the nitrogen to which they are
attached represent heterocycloalkyl and heteroaryl
radical;

R¹ represents hydrogen, alkyl, alkenyl and alkynyl
20 radicals, and amino acid side chains selected from the
group consisting of asparagine, valine, threonine, allo-
threonine, isoleucine, tert-leucine, S-methyl cysteine
and the sulfone and sulfoxide derivatives thereof,
alanine, and allo-isoleucine;

25 R² represents alkyl, cycloalkylalkyl and aralkyl
radicals, which radicals are optionally substituted with
halogen radicals and radicals represented by the formula
-OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl
30 and halogen radicals;

R³ represents alkyl, halalkyl, alkenyl, alkoxyalkyl,
cycloalkyl, cycloalkylalkyl, heterocycloalkyl,
heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and
35 heteroaralkyl radicals;

R^4 represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

5

R^7 and R^7' independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

10

R^8 represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino,

15 heterocyclylamino and alkoxy carbonyl radicals; and

n represents an integer of from 0 to 6.

19. Compound of Claim 17 wherein R represents
20 hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl, heterocyclyl carbonyl,
25 heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryl oxy carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted
30 aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said
35 substituents along with the nitrogen atom to which they

are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R¹ represents hydrogen, methyl, propargyl, t-butyl, isopropyl and sec-butyl radicals, and amino acid side chains selected from the group consisting of asparagine, valine, S-methyl cysteine, allo-iso-leucine, iso-leucine, threonine, serine, aspartic acid, beta-cyano alanine, and allo-threonine side chains;

15 R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

20 R³ represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl radicals;

25 R⁴ represents hydrogen and methyl, ethyl, i-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl and phenyl radicals;

30 R⁷ and R^{7'} independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

35 R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,

phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl; and

5

n represents an integer of from 0 to 6.

20. A pharmaceutical composition comprising a compound of Claim 17 and a pharmaceutically acceptable carrier.

21. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 20.

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22. Method of Claim 21 wherein the retroviral protease is HIV protease.

23. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 20.

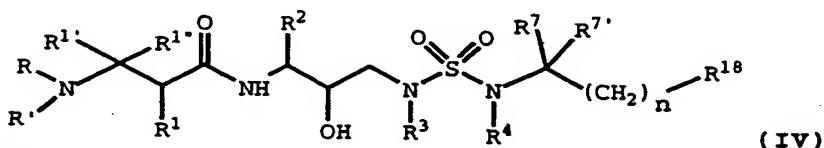
24. Method of Claim 23 wherein the retroviral infection is an HIV infection.

25

25. Method for treating AIDS comprising administering an effective amount of a composition of Claim 20.

30

26. Compound represented by the formula:



wherein:

R represents hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, alkoxy alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyloxy carbonyl, heterocyclylalkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryl oxy carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxy alkyl, heteroaryl oxy alkyl, hydroxy alkyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl radicals, or where said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃, -CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]CH₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO₂) derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine,

allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

5 R¹' and R¹" independently represent hydrogen and radicals as defined for R¹, or one of R¹' and R¹", together with R¹ and the carbon atoms to which R¹, R¹' and R¹" are attached, represent a cycloalkyl radical;

10 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radials; -NO₂, -C≡N, CF₃, -OR⁹ and -SR⁹, wherein R⁹ represents hydrogen and alkyl radicals;

15 R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

20 R⁴ represents hydrogen and radicals as defined by R³;

25 R⁷ and R⁷' independently represent radicals as defined for R³ and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R⁷ and R⁷' together with the carbon

atom to which they are attached form a cycloalkyl radical;

5 R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

10 wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

15 n represents an integer of from 0 to 6.

27. Compound of Claim 26 wherein R represents an arylalkanoyl, heteroaroyl, aryloxyalkanoyl, aryloxycarbonyl, alkanoyl, aminocarbonyl, mono-20 substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono- or dialkylaminocarbonyl radical;

25 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent a heterocycloalkyl or heteroaryl radical;

30 R¹, R^{1'} and R^{1''} independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms, alkenyl, alkynyl, aralkyl radicals, and radicals represented by the formula -CH₂C(O)R^{*} or -C(O)R^{*} wherein R^{*} represents R³⁸, -NR³⁸R³⁹ and OR³⁸ wherein R³⁸ and R³⁹ independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms;

R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl

5 radicals; and

R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl,

10 heteroaryl and heteroaralkyl radicals;

R⁴ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl

15 radicals;

R⁷ and R^{7'} independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8

20 carbon atoms;

R⁸ represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl,

25 dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclamino and alkoxy carbonyl radicals.

28. Compound of Claim 26 wherein R represents an arylalkanoyl, aryloxycarbonyl, aryloxyalkanoyl,

30 heteroaroyl, alkanoyl, aminocarbonyl, mono-substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono- or dialkylaminocarbonyl radical;

R' represents hydrogen and radicals as defined for R³ or

35 R and R' together with the nitrogen to which they are

attached represent a heterocycloalkyl or heteroaryl radical;

5 R¹, R^{1'} and R^{1''} independently represent hydrogen, methyl, ethyl, benzyl, phenylpropyl, -C(O)NH₂ and propargyl radicals;

10 R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

15 R³ represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl radicals;

15 R⁴ represents hydrogen and methyl, ethyl, i-propyl, n-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl and phenyl radicals;

20 R⁷ and R^{7'} independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

25 R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio, phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 30 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl.

29. Compound of Claim 26 wherein R⁴ and R⁵ together with the nitrogen atom to which they are bonded

form a pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl radical.

5 30. A pharmaceutical composition comprising, a compound of Claim 26 and a pharmaceutically acceptable carrier.

10 31. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 29.

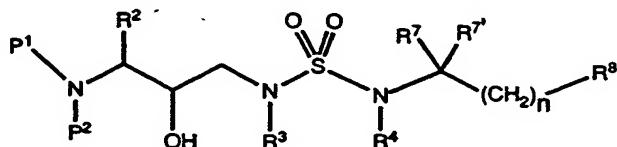
32. Method of Claim 30 wherein the retroviral protease is HIV protease.

15 33. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 29.

20 34. Method of Claim 32 wherein the retroviral infection is an HIV infection.

25 35. Method for treating AIDS comprising administering an effective amount of a composition of Claim 29.

36. A compound represented by the formula:



30 wherein:

P^1 and P^2 independently represent hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl,

cycloalkylcarbonyl, cycloalkylalkoxycarbonyl,
cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl,
aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl,
heterocyclcarbonyl, heterocyclloxycarbonyl,
5 heterocyclalkanoyl, heterocyclalkoxycarbonyl,
heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-
carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl,
aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl,
10 aminocarbonyl, aminoalkanoyl, and mono- and disubstituted
aminocarbonyl and mono- and disubstituted aminoalkanoyl
radicals wherein the substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl,
heterocycloalkyl radicals, or where said
15 aminoalkanoyl radical is disubstituted, said substituents
along with the nitrogen atom to which they are attached
form a heterocycloalkyl or heteroaryl radical;

R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl
20 and aralkyl radicals, which radicals are optionally
substituted with a group selected from alkyl and halogen
radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹
represents hydrogen and alkyl radicals;

25 R³ represents hydrogen, alkyl, haloalkyl, alkenyl,
alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl,
cycloalkylalkyl, heterocycloalkyl, heteroaryl,
heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl,
aminoalkyl and mono- and disubstituted aminoalkyl
30 radicals, wherein said substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl, and
heterocycloalkylalkyl radicals, or in the case of a
disubstituted aminoalkyl radical, said substituents along
35 with the nitrogen atom to which they are attached, form a
heterocycloalkyl or a heteroaryl radical, and thioalkyl,

alkylthioalkyl and arylthioalkyl and the sulfone and sulfoxide derivatives thereof;

5 R⁴ represents hydrogen and radicals as defined by R³;

10 R⁷ and R^{7'} independently represent radicals as defined for R³; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and

15 t-butylglycine; radicals represented by the formulas -C(O)R¹⁶, -CO₂R¹⁶, -SO₂R¹⁶, -SR¹⁶, -CONR¹⁶R¹⁷, -CF₃ and -NR¹⁶R¹⁷; or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

20 R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

25 wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

30 25 n represents an integer of from 0 to 6.

37. A pharmaceutical composition comprising a compound of Claim 36 and a pharmaceutically acceptable carrier.

38. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 37.

39. Method of Claim 38 wherein the retroviral protease is HIV protease.

40. Method of treating a retroviral infection
5 comprising administering an effective amount of a composition of Claim 37.

41. Method of Claim 39 wherein the retroviral infection is an HIV infection.

10

42. Method for treating AIDS comprising administering an effective amount of a composition of Claim 37.